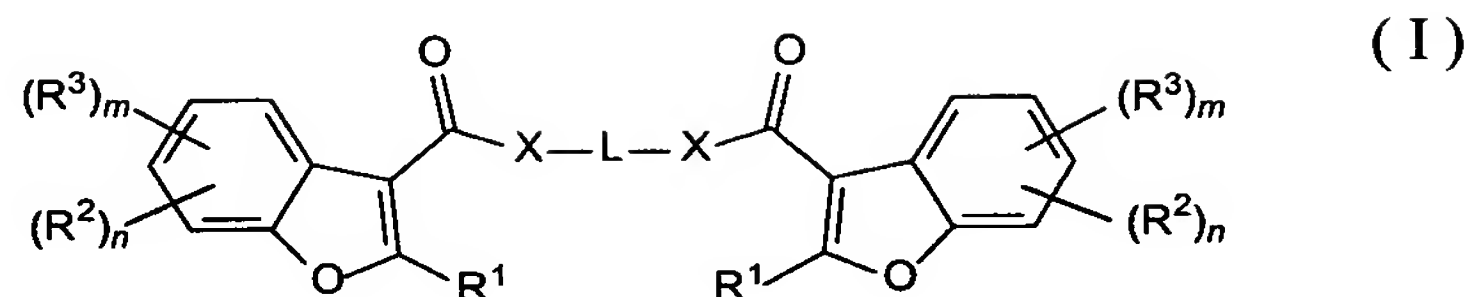


CLAIMS

What is claimed is:

1. A compound of the formula I




wherein

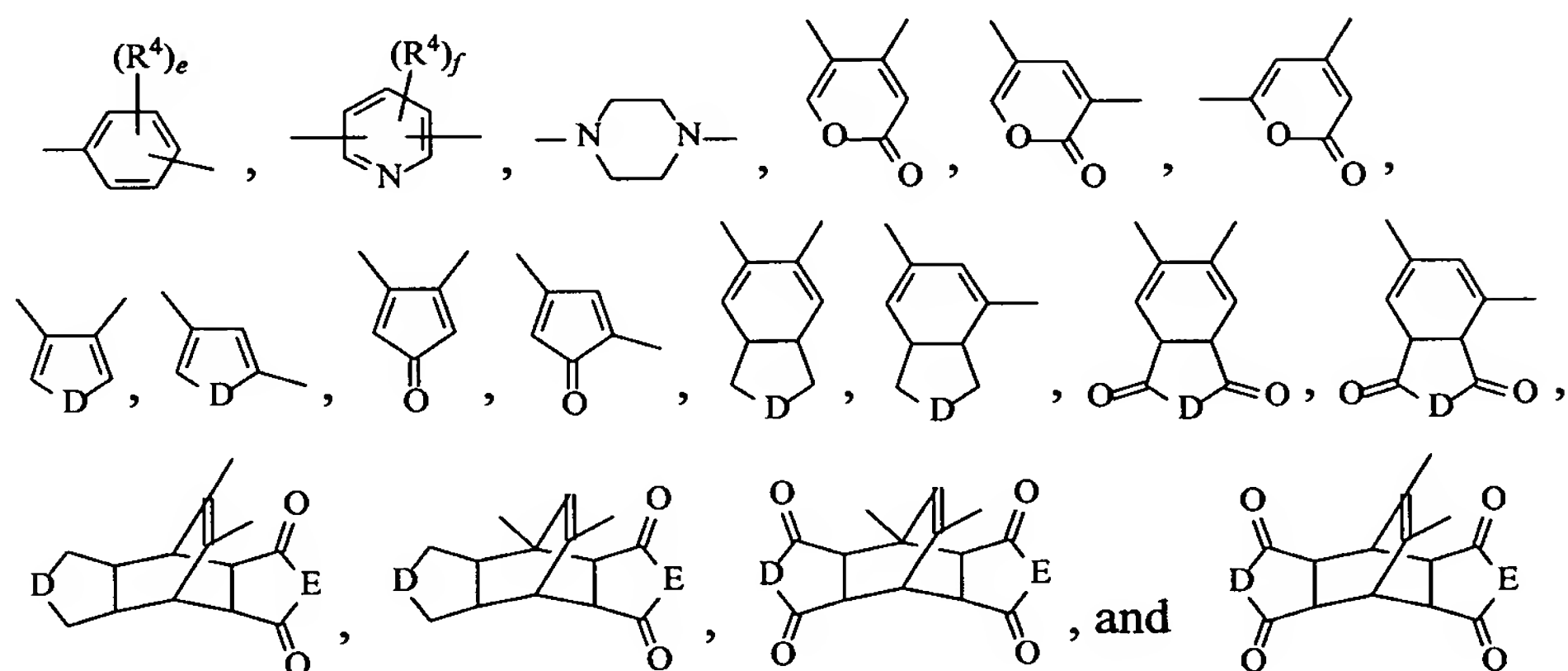
L is selected from $-(\text{CH}_2)_a-$, and a group of the formula

-B-A-B-

wherein a is selected from 2-20,

- 10 B is $-(\text{CH}_2)_b-$, $-(\text{CH}_2)_c-\text{O}-(\text{CH}_2)_d-$, or $-(\text{CH}_2)_c-$  $-(\text{CH}_2)_d-$, and

A is selected from a group of the formula

$$-\text{O}-, -\text{CH}=\text{CH}-, -\text{C}\equiv\text{C}-\text{C}\equiv\text{C}-,$$


wherein R⁴ is selected from halogen, lower alkyl, lower alkoxy, NO₂, and -NRR,

D and E are independently selected from O, S, Se, CRR and NR,

b is selected from 1-10,

c is selected from 1-8,

d is selected from 1-8,

e is selected from 0-4;

f is selected from 0-3, and

R is selected from H, lower alkyl, aralkyl and aryl;

X is selected from O, or -NH-;

R¹ is selected from

a C₁-C₂₀ alkyl which may be unsubstituted or substituted with one or more substituents selected from CN, halogen, lower alkoxy, thio-lower alkyl, nitro, phosphinos, phosphates, and protected amino;

a C₁-C₂₀ alkenyl which may be unsubstituted or substituted with one or more substituents selected from CN, halogen, lower alkoxy, thio-lower alkyl, nitro, phosphinos, phosphates, and protected amino;

an aromatic group which may be unsubstituted or substituted with one or more substituents

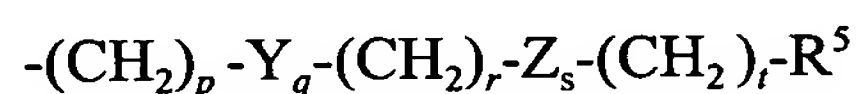
selected from halogen, lower alkyl, lower alkoxy, thio-lower alkyl, nitro, phosphinos, phosphates, and protected amino; and

an aralkyl which may be unsubstituted or substituted with one or more substituents selected

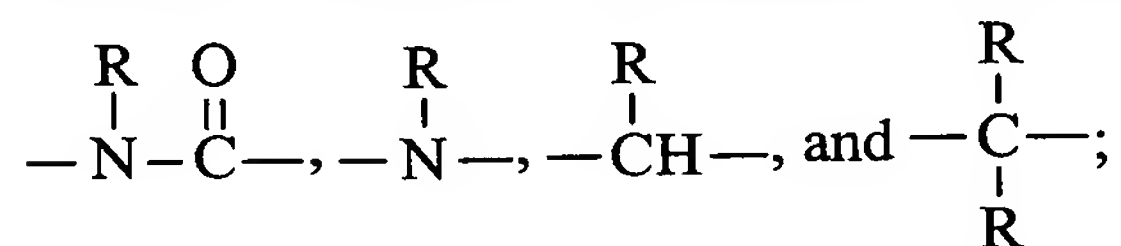
from halogen, lower alkyl, lower alkoxy, thio-lower alkyl, nitro, phosphinos, phosphates, and protected amino;

R² is selected from halogen, hydroxy, CN, nitro, lower alkyl, lower alkoxy, thio-lower alkyl, lower alkenyl, cycloalkyl, C₂-C₈ acyl, lower alkyl ester, and lower alkyl amide;

R³ is a group of the formula



wherein Y and Z are independently selected from O, S, -OCH₂CH₂O-, $\text{--}\overset{\text{O}}{\parallel}\text{C--}$, $\text{--}\overset{\text{O}}{\parallel}\text{C--O--}$,



p, *r* and *t* are independently selected from values from 0 to 10;

q and *s* are independently selected from 0 and 1, provided that when *t*=0 then *s*=0, and when *r*=0 then *q*=0; and

R⁵ is selected from OH, CO₂H, $\text{--}\overset{\text{O}}{\parallel}\text{NHC--}$, and $\text{--}\overset{\text{O}}{\parallel}\text{NHC--CH}_2\text{OH}$;

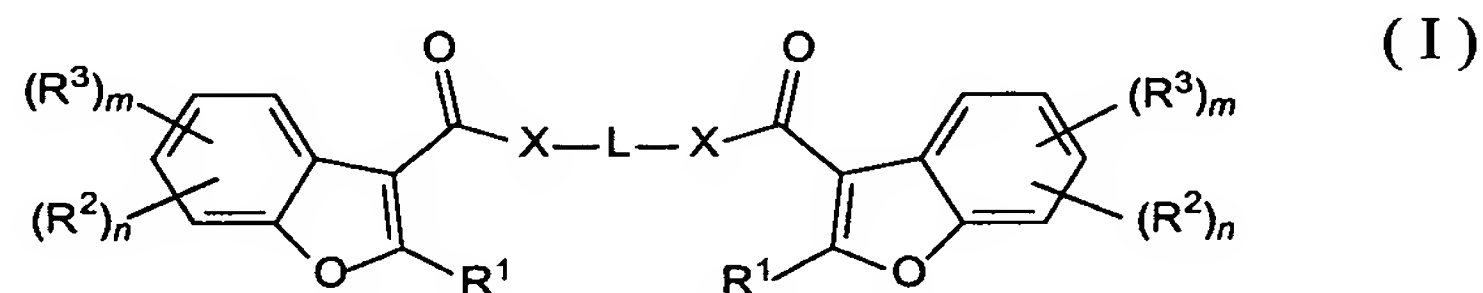
n is selected from 0-4; and

m is 0 or 1, with the proviso that the sum of n plus m does not exceed 4.

- 5 2. A compound of the claim 1, wherein A is selected from a group of the formula
-O-, -CH=CH-, and $-C\equiv C-C\equiv C-$.

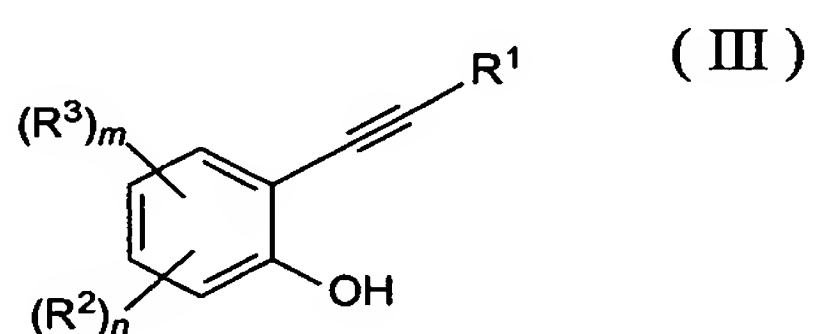
3. A process for the preparation of a compound of the formula I

10

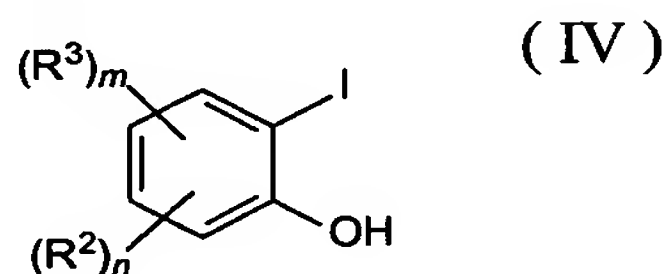


comprising the steps of

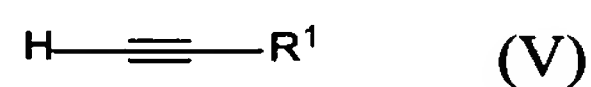
- (a) a Sonogashira reaction to prepare a compound of the formula III



- 15 by reacting a compound of the formula IV

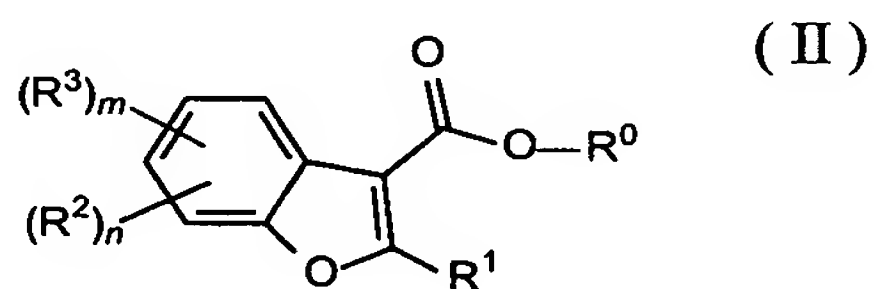


with a terminal alkyne represented by the formula V:



- 20 in the presence of base and a transition metal catalyst;

- (b) carbonylative annulation to give a compound of the formula II



by treating a compound of the formula III with an alcohol of the formula R^0 -OH in the presence of a transition metal catalyst, carbon monoxide and a base, wherein R^0 is lower alkyl, aralkyl, or aryl, wherein the lower alkyl, aralkyl, or aryl, may be optionally substituted with one or more halogen, CN and nitro, or R^0 is selected from a group of the

5 formula

-L-OH, and -B-A',

wherein L and B are as described above for a compound of the formula I, and A' is $-\text{CH}=\text{CH}_2$ or $-\text{C}\equiv\text{CH}$; and

10 (c) coupling two molecules of the formula II to give a compound of the formula I,

wherein R^1 , R^2 , R^3 , X, L, n and m are as described in claim 1 for the compound of the formula I.